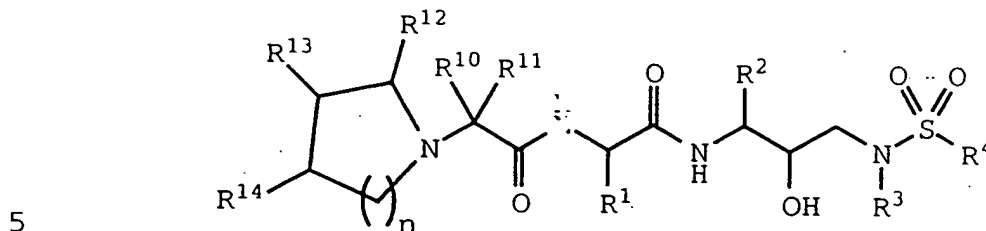


WHAT IS CLAIMED IS:

1. Compound represented by the formula:



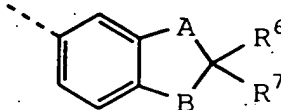
or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein n represents 1 or 2;

- 10 R<sup>1</sup> represents alkyl of 1-5 carbon atoms, alkenyl of 2-5 carbon atoms, alkynyl of 2-5 carbon atoms, hydroxyalkyl of 1-3 carbon atoms, alkoxyalkyl of 1-3 alkyl and 1-3 alkoxy carbon atoms, cyanoalkyl of 1-3 alkyl carbon atoms, imidazolylmethyl, -CH<sub>2</sub>CONH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>CONH<sub>2</sub>,  
 15 -CH<sub>2</sub>S(O)<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>SCH<sub>3</sub>, -CH<sub>2</sub>S(O)CH<sub>3</sub>, -CH<sub>2</sub>S(O)CH<sub>2</sub>CH<sub>3</sub>, -C(CH<sub>3</sub>)<sub>2</sub>SCH<sub>3</sub>, -C(CH<sub>3</sub>)<sub>2</sub>S(O)CH<sub>3</sub> or -C(CH<sub>3</sub>)<sub>2</sub>S(O)CH<sub>2</sub>CH<sub>3</sub> radicals;

- R<sup>2</sup> represents radicals of alkyl of 1-5 carbon atoms,  
 20 aralkyl of 1-3 alkyl carbon atoms, alkylthioalkyl of 1-3 alkyl carbon atoms, arylthioalkyl of 1-3 alkyl carbon atoms or cycloalkylalkyl of 1-3 alkyl carbon atoms and 3-6 ring member carbon atoms;

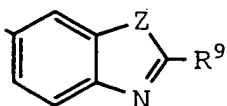
- 25 R<sup>3</sup> represents radicals of alkyl radical of 1-5 carbon atoms, cycloalkyl of 5-8 ring members or cycloalkylmethyl radical of 3-6 ring members;

- R<sup>4</sup> represents aryl, benzo fused 5 to 6 ring member  
 30 heteroaryl or benzo fused 5 to 6 ring member heterocyclo radicals; or a radical of the formula

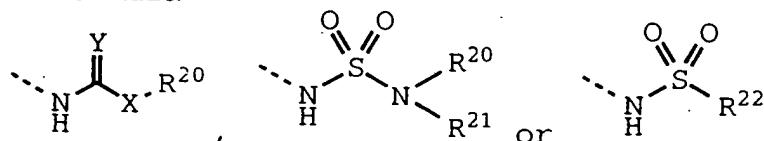


wherein A and B each independently represent O, S, SO or SO<sub>2</sub>; R<sup>6</sup> represents deuterium, alkyl of 1-5 carbon atoms,

fluoro or chloro radicals;  $R^7$  represents hydrogen, deuterium, methyl, fluoro or chloro radicals; or a radical of the formula



- 5 wherein Z represents O, S or NH; and  $R^9$  represents a radical of formula



wherein Y represents O, S or NH; X represents a bond, O or  $NR^{21}$ ;

10

$R^{20}$  represents hydrogen, alkyl of 1 to 5 carbon atoms, alkenyl of 2 to 5 carbon atoms, alkynyl of 2 to 5 carbon atoms, aralkyl of 1 to 5 alkyl carbon atoms, heteroaralkyl of 5 to 6 ring members and 1 to 5 alkyl carbon atoms, heterocycloalkyl of 5 to 6 ring members and 1 to 5 alkyl carbon atoms, aminoalkyl of 2 to 5 carbon atoms, N-mono-substituted or N,N-disubstituted aminoalkyl of 2 to 5 alkyl carbon atoms wherein said substituents are radicals of alkyl of 1 to 3 carbon atoms, aralkyl of 1 to 3 alkyl carbon atoms radicals, carboxyalkyl of 1 to 5 carbon atoms, alkoxycarbonylalkyl of 1 to 5 alkyl carbon atoms, cyanoalkyl of 1 to 5 carbon atoms or hydroxyalkyl of 2 to 5 carbon atoms;

- 25  $R^{21}$  represents hydrogen radical or alkyl radical of 1 to 3 carbon atoms; or the radical of formula  $-NR^{20}R^{21}$  represents a 5 to 6 ring member heterocyclo radical; and

$R^{22}$  represents alkyl radical of 1 to 3 carbon atoms or

- 30  $R^{20}R^{21}N$ -alkyl radical of 1 to 3 alkyl carbon atoms;

$R^{10}$  represents hydrogen, alkyl, hydroxyalkyl or alkoxyalkyl radicals, wherein alkyl is 1-3 carbon atoms;

R11 represents hydrogen, alkyl of 1-5 carbon atoms, hydroxyalkyl of 1-4 carbon atoms, alkoxyalkyl of 1-3-  
alkyl carbon atoms, benzyl, imidazolylmethyl,  
-CH<sub>2</sub>CH<sub>2</sub>CONH<sub>2</sub>, -CH<sub>2</sub>CONH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>SCH<sub>3</sub> or -CH<sub>2</sub>SCH<sub>3</sub> radicals  
5 or the sulfone or sulfoxide derivatives thereof;

R12 represents hydrogen, hydroxyalkyl or alkoxyalkyl  
radicals, wherein alkyl is 1-3 carbon atoms; and

10 R13 and R14 each independently represent hydrogen, hydroxy, alkoxy, 2-hydroxyethoxy, hydroxyalkyl or alkoxyalkyl radicals, wherein alkyl is 1-3 carbon atoms; or R12 and R13 or R13 and R14 along with the carbon atoms to which they are attached represent 5-6 ring membered  
15 heteroaryl or benzo radical, each of which is optionally substituted with at least one hydroxy or alkoxy radical of 1-3 carbon atoms.

2. Compound of Claim 1, or a pharmaceutically  
20 acceptable salt, prodrug or ester thereof, wherein

R1 represents alkyl of 1-4 carbon atoms, alkenyl of 2-3 carbon atoms, alkynyl of 3-4 carbon atoms, cyanomethyl, imidazolylmethyl, -CH<sub>2</sub>CONH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>CONH<sub>2</sub>, -CH<sub>2</sub>S(O)<sub>2</sub>NH<sub>2</sub>,  
25 -CH<sub>2</sub>SCH<sub>3</sub>, -CH<sub>2</sub>S(O)CH<sub>3</sub>, -CH<sub>2</sub>S(O)<sub>2</sub>CH<sub>3</sub>, -C(CH<sub>3</sub>)<sub>2</sub>SCH<sub>3</sub>, -C(CH<sub>3</sub>)<sub>2</sub>S(O)CH<sub>3</sub> or -C(CH<sub>3</sub>)<sub>2</sub>S(O)<sub>2</sub>CH<sub>3</sub> radicals; and

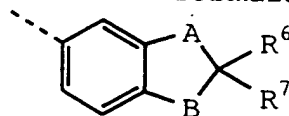
R2 represents radicals of alkyl of 3-5 carbon atoms, arylmethyl, alkylthioalkyl of 1-3 alkyl carbon atoms,  
30 arylthiomethyl or cycloalkylmethyl of 5-6 ring member carbon atoms radicals;

R3 represents alkyl of 1-5 carbon atoms, cycloalkylmethyl of 3-6 ring members, cyclohexyl or cycloheptyl radicals;  
35

R4 represents phenyl, 2-naphthyl, 4-methoxyphenyl, 4-hydroxyphenyl, 3,4-dimethoxyphenyl, 3-aminophenyl, 4-aminophenyl, 2-amino-benzothiazol-5-yl, 2-amino-

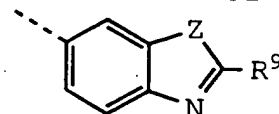
benzothiazol-6-yl, benzothiazol-5-yl, benzothiazol-6-yl, benzoxazol-5-yl, 2,3-dihydrobenzofuran-5-yl, benzofuran-5-yl, 1,3-benzodioxol-5-yl or 1,4-benzodioxan-6-yl radicals; or a radical of the formula

5

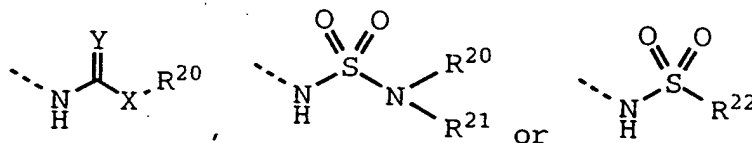


wherein A and B each represent O; R<sup>6</sup> represents deuterium, methyl, ethyl, propyl, isopropyl or fluoro radicals; and R<sup>7</sup> represents hydrogen, deuterium, methyl or fluoro radicals; or a radical of the formula

10



wherein Z represents O, S or NH; and R<sup>9</sup> represents a radical of formula



15

wherein Y represents O, S or NH; X represents a bond, O or NR<sup>21</sup>;

20

R<sup>20</sup> represents hydrogen, alkyl of 1 to 5 carbon atoms, phenylalkyl of 1 to 3 alkyl carbon atoms, heterocycloalkyl of 5 to 6 ring members and 1 to 3 alkyl carbon atoms, or N-mono-substituted or N,N-disubstituted aminoalkyl of 2 to 3 alkyl carbon atoms wherein said substituents are alkyl radicals of 1 to 3 carbon atoms; and

25

R<sup>21</sup> represents hydrogen or methyl radicals; or the radical of formula -NR<sup>20</sup>R<sup>21</sup> represents pyrrolidinyl, piperidinyl, piperazinyl, 4-methylpiperazinyl, 4-benzylpiperazinyl, morpholinyl or thiamorpholinyl radicals; and

30

R<sup>22</sup> represents alkyl radical of 1 to 3 carbon atoms.

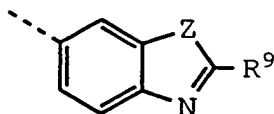
3. Compound of Claim 2, or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein

R<sup>1</sup> represents iso-propyl, sec-butyl, tert-butyl, 3-propynyl, imidazolylmethyl, -CH<sub>2</sub>CONH<sub>2</sub>, -CH<sub>2</sub>SCH<sub>3</sub>, -CH<sub>2</sub>S(O)CH<sub>3</sub>, -CH<sub>2</sub>S(O)<sub>2</sub>CH<sub>3</sub>, -C(CH<sub>3</sub>)<sub>2</sub>SCH<sub>3</sub>, -C(CH<sub>3</sub>)<sub>2</sub>S(O)CH<sub>3</sub> or -C(CH<sub>3</sub>)<sub>2</sub>S(O)<sub>2</sub>CH<sub>3</sub> radicals;

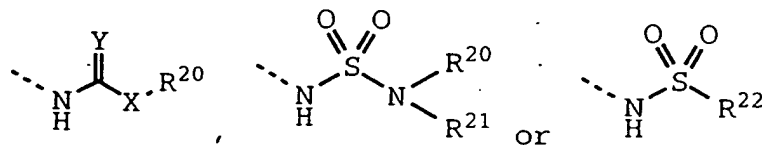
R<sup>2</sup> represents isobutyl, n-butyl, CH<sub>3</sub>SCH<sub>2</sub>CH<sub>2</sub>-, phenylthiomethyl, (2-naphthylthio)methyl, benzyl, 4-methoxyphenylmethyl, 4-hydroxyphenylmethyl, 4-fluorophenylmethyl or cyclohexylmethyl radicals;

R<sup>3</sup> represents propyl, isoamyl, isobutyl, butyl, cyclohexyl, cycloheptyl, cyclopentylmethyl or cyclohexylmethyl radicals;

R<sup>4</sup> represents phenyl, 2-naphthyl, 4-methoxyphenyl, 4-hydroxyphenyl, benzothiazol-5-yl, benzothiazol-6-yl, benzoxazol-5-yl, 2,3-dihydrobenzofuran-5-yl, benzofuran-5-yl, 1,3-benzodioxol-5-yl, 2-methyl-1,3-benzodioxol-5-yl, 2,2-dimethyl-1,3-benzodioxol-5-yl, 2,2-dideutero-1,3-benzodioxol-5-yl, 2,2-difluoro-1,3-benzodioxol-5-yl or 1,4-benzodioxan-6-yl radicals; or a radical of the formula



wherein Z represents O, S or NH; and R<sup>9</sup> represents a radical of formula



wherein Y represents O, S or NH; X represents a bond, O or NR<sup>21</sup>;

R<sup>20</sup> represents hydrogen, methyl, ethyl, propyl, isopropyl, isobutyl, benzyl, 2-(1-pyrrolidinyl)ethyl, 2-(1-piperidinyl)ethyl, 2-(1-piperazinyl)ethyl, 2-(4-methylpiperazin-1-yl)ethyl, 2-(1-morpholinyl)ethyl, 2-(1-

thiamorpholinyl)ethyl or 2-(N,N-dimethylamino)ethyl radicals;

R<sup>21</sup> represents a hydrogen radical; and

5

R<sup>22</sup> represents methyl radical;

R<sup>10</sup> and R<sup>12</sup> each represent a hydrogen radical;

10 R<sup>11</sup> represents hydrogen, methyl, isopropyl, butyl, secbutyl, isobutyl, hydroxymethyl or hydroxyethyl radicals; and

15 R<sup>13</sup> and R<sup>14</sup> each independently represent hydrogen, hydroxy, methoxy or ethoxy radicals; or R<sup>12</sup> and R<sup>13</sup> or R<sup>13</sup> and R<sup>14</sup> along with the carbon atoms to which they are attached represent benzo radical, which is optionally substituted with at least one hydroxy or methoxy radical.

20 4. Compound of Claim 3 or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein n represents 1;

25 R<sup>1</sup> represents sec-butyl, tert-butyl, iso-propyl, 3-propynyl or -C(CH<sub>3</sub>)<sub>2</sub>S(O)<sub>2</sub>CH<sub>3</sub> radicals;

R<sup>2</sup> represents benzyl, 4-fluorophenylmethyl or cyclohexylmethyl radicals;

30 R<sup>4</sup> represents phenyl, 4-methoxyphenyl, 4-hydroxyphenyl, benzothiazol-5-yl, benzothiazol-6-yl, 2,3-dihydrobenzofuran-5-yl, benzofuran-5-yl, 1,3-benzodioxol-5-yl, 2-methyl-1,3-benzodioxol-5-yl, 2,2-dimethyl-1,3-benzodioxol-5-yl, 2,2-dideutero-1,3-benzodioxol-5-yl,  
35 2,2-difluoro-1,3-benzodioxol-5-yl, 1,4-benzodioxan-6-yl, 2-(methoxycarbonylamino)benzothiazol-6-yl or 2-(methoxycarbonylamino)benzimidazol-5-yl radicals;

40 R<sup>11</sup> represents a hydrogen radical; and

R13 and R14 each independently represent hydrogen, hydroxy, methoxy or ethoxy radicals.

- 5        5. Compound of Claim 1 wherein said  
pharmaceutically acceptable salt is hydrochloric acid  
salt, sulphuric acid salt, phosphoric acid salt, oxalic  
acid salt, maleic acid salt, succinic acid salt, citric  
acid salt or methanesulfonic acid salt.
- 10       6. Compound of Claim 5 wherein said  
pharmaceutically acceptable salt is hydrochloric acid  
salt, oxalic acid salt, citric acid salt or  
methanesulfonic acid salt.
- 15       7. Compound of Claim 1 which is
- 2S-[[ (pyrrolidin-1-yl) acetyl] amino]-N-[2R-hydroxy-3-  
[[ (1,3-benzodioxol-5-yl) sulfonyl] (2-methylpropyl) amino]-  
1S-(phenylmethyl)propyl]-3,3-dimethyl-butanamide;
- 20       2S-[[ (pyrrolidin-1-yl) acetyl] amino]-N-[2R-hydroxy-3-  
[[ (1,3-benzodioxol-5-yl) sulfonyl] (2-methylpropyl) amino]-  
1S-(phenylmethyl)propyl]-3-methyl-butanamide;
- 25       2S-[[ (pyrrolidin-1-yl) acetyl] amino]-N-[2R-hydroxy-3-  
[[ (1,3-benzodioxol-5-yl) sulfonyl] (2-methylpropyl) amino]-  
1S-(phenylmethyl)propyl]-3S-methyl-pentanamide;
- 30       2S-[[ (pyrrolidin-1-yl) acetyl] amino]-N-[2R-hydroxy-3-  
[[ (1,3-benzodioxol-5-yl) sulfonyl] (2-methylpropyl) amino]-  
1S-(phenylmethyl)propyl]-4-pentynamide;
- 35       2S-[[ (pyrrolidin-1-yl) acetyl] amino]-N-[2R-hydroxy-3-  
[[ phenylsulfonyl] (2-methylpropyl) amino]-1S-  
(phenylmethyl)propyl]-3,3-dimethyl-butanamide;

- 2S-[[ (pyrrolidin-1-yl)acetyl]amino]-N-[2R-hydroxy-3-  
[[phenylsulfonyl] (2-methylpropyl) amino]-1S-  
(phenylmethyl)propyl]-3-methyl-butanamide;
- 5 2S-[[ (pyrrolidin-1-yl)acetyl]amino]-N-[2R-hydroxy-3-  
[[phenylsulfonyl] (2-methylpropyl) amino]-1S-  
(phenylmethyl)propyl]-3S-methyl-pentanamide;
- 10 2S-[[ (pyrrolidin-1-yl)acetyl]amino]-N-[2R-hydroxy-3-  
[[phenylsulfonyl] (2-methylpropyl) amino]-1S-  
(phenylmethyl)propyl]-4-pentynamide;
- 15 2S-[[ (pyrrolidin-1-yl)acetyl]amino]-N-[2R-hydroxy-3-[[ (4-  
methoxyphenyl) sulfonyl] (2-methylpropyl) amino]-1S-  
(phenylmethyl)propyl]-3,3-dimethyl-butanamide;
- 20 2S-[[ (pyrrolidin-1-yl)acetyl]amino]-N-[2R-hydroxy-3-[[ (4-  
methoxyphenyl) sulfonyl] (2-methylpropyl) amino]-1S-  
(phenylmethyl)propyl]-3-methyl-butanamide;
- 25 2S-[[ (pyrrolidin-1-yl)acetyl]amino]-N-[2R-hydroxy-3-[[ (4-  
methoxyphenyl) sulfonyl] (2-methylpropyl) amino]-1S-  
(phenylmethyl)propyl]-4-pentynamide;
- 30 2S-[[ (pyrrolidin-1-yl)acetyl]amino]-N-[2R-hydroxy-3-  
[[ (2,3-dihydrobenzofuran-5-yl) sulfonyl] (2-methylpropyl)  
amino]-1S-(phenylmethyl)propyl]-3,3-dimethyl-butanamide;
- 35 2S-[[ (pyrrolidin-1-yl)acetyl]amino]-N-[2R-hydroxy-3-  
[[ (2,3-dihydrobenzofuran-5-yl) sulfonyl] (2-methylpropyl)  
amino]-1S-(phenylmethyl)propyl]-3-methyl-butanamide;



- 2S-[[ (pyrrolidin-1-yl) acetyl] amino] -N- [2R-hydroxy-3-  
[[ (2,3-dihydrobenzofuran-5-yl) sulfonyl] (2-methylpropyl)  
amino] -1S-phenylmethyl) propyl] -3S-methyl-pentanamide;
- 5 2S-[[ (pyrrolidin-1-yl) acetyl] amino] -N- [2R-hydroxy-3-  
[[ (2,3-dihydrobenzofuran-5-yl) sulfonyl] (2-methylpropyl)  
amino] -1S-phenylmethyl) propyl] -4-pentynamide;
- 2S-[[ (pyrrolidin-1-yl) acetyl] amino] -N- [2R-hydroxy-3-  
10 [[ (benzothiazol-6-yl) sulfonyl] (2-methylpropyl) amino] -1S-  
(phenylmethyl) propyl] -3,3-dimethyl-butanamide;
- 2S-[[ (pyrrolidin-1-yl) acetyl] amino] -N- [2R-hydroxy-3-  
[[ (benzothiazol-6-yl) sulfonyl] (2-methylpropyl) amino] -1S-  
15 (phenylmethyl) propyl] -3-methyl-butanamide;
- 2S-[[ (pyrrolidin-1-yl) acetyl] amino] -N- [2R-hydroxy-3-  
[[ (benzothiazol-6-yl) sulfonyl] (2-methylpropyl) amino] -1S-  
(phenylmethyl) propyl] -3S-methyl-pentanamide;  
20
- 2S-[[ (pyrrolidin-1-yl) acetyl] amino] -N- [2R-hydroxy-3-  
[[ (benzothiazol-6-yl) sulfonyl] (2-methylpropyl) amino] -1S-  
(phenylmethyl) propyl] -4-pentynamide;
- 25 2S-[[ (pyrrolidin-1-yl) acetyl] amino] -N- [2R-hydroxy-3- [[ (2-  
naphthyl) sulfonyl] (2-methylpropyl) amino] -1S-  
(phenylmethyl) propyl] -3,3-dimethyl-butanamide;
- 2S-[[ (pyrrolidin-1-yl) acetyl] amino] -N- [2R-hydroxy-3- [[ (2-  
30 naphthyl) sulfonyl] (2-methylpropyl) amino] -1S-  
(phenylmethyl) propyl] -3-methyl-butanamide;
- 2S-[[ (pyrrolidin-1-yl) acetyl] amino] -N- [2R-hydroxy-3- [[ (2-  
naphthyl) sulfonyl] (2-methylpropyl) amino] -1S-  
35 (phenylmethyl) propyl] -3S-methyl-pentanamide;

2S-[[ (pyrrolidin-1-yl)acetyl]amino]-N-[2R-hydroxy-3-[[ (2-naphthyl)sulfonyl] (2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-4-pentynamide;

5 2S-[[ (pyrrolidin-1-yl)acetyl]amino]-N-[2R-hydroxy-3-[[ (1,4-benzodioxan-6-yl)sulfonyl] (2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-3,3-dimethyl-butanamide;

10 2S-[[ (pyrrolidin-1-yl)acetyl]amino]-N-[2R-hydroxy-3-[[ (1,4-benzodioxan-6-yl)sulfonyl] (2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-3-methyl-butanamide;

15 2S-[[ (pyrrolidin-1-yl)acetyl]amino]-N-[2R-hydroxy-3-[[ (1,4-benzodioxan-6-yl)sulfonyl] (2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-3S-methyl-pentanamide; or

20 2S-[[ (pyrrolidin-1-yl)acetyl]amino]-N-[2R-hydroxy-3-[[ (1,4-benzodioxan-6-yl)sulfonyl] (2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-4-pentynamide.

8. Composition comprising a compound of Claim 1 and a pharmaceutically acceptable carrier.

25 9. Method of inhibiting a retroviral protease comprising administering an effective amount of a compound of Claim 1.

30 10. Method of treating a retroviral infection comprising administering an effective amount of a composition of Claim 8.

35 11. Method of preventing replication of a retrovirus comprising administering an effective amount of a compound of Claim 1.

12. Method of preventing replication of a retrovirus *in vitro* comprising administering an effective amount of a compound of Claim 1.

5        13. Method of treating AIDS comprising administering an effective amount of a composition of Claim 8.